Product Data Sheet

Product Name: PROTAC CARM1 degrader-1 TFA
Cat. No.: GC81882

Chemical Properties

Cas. No.

Formula	$C_{73}H_{99}F_3N_{12}O_{10}S$	M.Wt	1393.7
Solubility	DMSO: 100 mg/mL (71.75 mM; Need ultrasonic)	Storage	Store at 4°C, sealed storage, away from moisture and light
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure

Background

PROTAC CARM1 degrader-1 TFA is a highly selective CARM1 PROTAC degrader, with a DC_{50} of 8.1 nM in MCF-7 cells. PROTAC CARM1 degrader-1 TFA recruits the VHL E3 ubiquitin ligase complex to act on CARM1, inducing a proteasome-dependent degradation process. PROTAC CARM1 degrader-1 TFA downregulates the methylation level of CARM1 substrates in breast cancer cells, inhibits breast cancer cell migration, and exhibits no significant inhibitory effect on cell proliferation. PROTAC CARM1 degrader-1 TFA is applicable for related research on breast cancer [1]. (Pink: CARM1 ligand; Blue: VHL ligand; Black: linker).

Caution: Product has not been fully validated for medical applications. For research use only.
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In Vitro, PROTAC CARM1 degrader-1 (Compound 3b) (0.01-500 nM; 24 h) TFA potently induces the degradation of CARM1 protein in MCF-7 cells (DC_{50} = 8.1 nM, Dmax = 97%), and exhibits high selectivity over PRMT1, PRMT5, and PRMT6; moreover, the CARM1 degradation activity is abolished upon co-treatment with MG132, MLN4924, VH-032 or TP-064 [1]. PROTAC CARM1 degrader-1 (0.5 μM; 48 h) TFA downregulates the asymmetric dimethylation modification of the CARM1 substrates BAF155 and PABP1 in MCF-7 cells[1]. PROTAC CARM1 degrader-1 (0.5 μM; 20 h) TFA exerts a significant migration inhibitory effect on MDA-MB-231 triple-negative breast cancer cells, with no apparent anti-proliferative activity[1].

References:

[1]. Xie H, et al. Development of Potent and Selective Coactivator-Associated Arginine Methyltransferase 1 (CARM1) Degraders. Journal of medicinal chemistry. 2023 Sep 28;66(18):13028-13042.

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